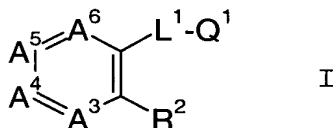


- 4 -

**c.) Amendments to the Claims**

1. (Currently amended) A compound of formula I



5

(or a pharmaceutically acceptable salt thereof) wherein:

A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup> and A<sup>6</sup>, together with the two carbons to which they are attached, complete a substituted benzene in which A<sup>3</sup> is CR<sup>3</sup>, A<sup>4</sup> is CR<sup>4</sup>, A<sup>5</sup> is CR<sup>5</sup>, and A<sup>6</sup> is CR<sup>6</sup>; wherein

R<sup>3</sup> is hydrogen, methyl, methoxy, fluoro, chloro or carboxy;

one of R<sup>4</sup> and R<sup>5</sup> is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R<sup>f</sup>O-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>O-, HO(CH<sub>2</sub>)<sub>a</sub>O- (in which a is 2, 3 or 4), R<sup>f</sup>O<sub>2</sub>C-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>-, R<sup>g</sup>NH-, R<sup>h</sup>SO<sub>2</sub>-, hydroxymethyl, formyl, cyano, acetyl, 1-hydroxyethyl, 1-(hydroxyimino)ethyl, 1-(methoxyimino)-ethyl, methylthio or R<sup>f</sup>O<sub>2</sub>C(CH<sub>2</sub>)<sub>2</sub>-;

the other of R<sup>4</sup> and R<sup>5</sup> is hydrogen; and

R<sup>6</sup> is hydrogen, methyl, fluoro, chloro or methoxy;

in which R<sup>f</sup> is hydrogen, (1-4C)alkyl or benzyl; R<sup>g</sup> is hydrogen or R<sup>h</sup>SO<sub>2</sub>-; and R<sup>h</sup> is (1-4C)alkyl or dimethylamino;

or each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen; and R<sup>5</sup> is vinyl, 2-cyanovinyl, 2-((1-2C)alkoxy)carbonyl vinyl or R<sup>a</sup> in which R<sup>a</sup> is phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy) or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has ~~includes~~ one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has ~~includes~~ one to three nitrogen atoms, wherein the heteroaryl is attached at carbon

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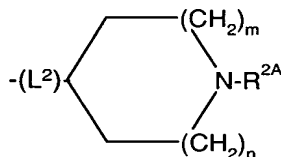
and may bear one or more methyl substituents on carbon or nitrogen);

$L^1$  is  $-CO-NH-$  such that  $-L^1-Q^1$  is  $-CO-NH-Q^1$ ;

$Q^1$  is ~~2-pyridinyl (which bears a methyl, methoxy, methylthio, fluoro or chloro substituent at the 5 position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6 position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5 position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6 position);~~

$R^2$  is  $-L^2-Q^2$  in which  $-L^2-$  is  $-NH-CO-$ ,  $-NH-CO-X-$ ,  $-NH-CO-O-X-$ ,  $-NH-CO-NH-X-$ ,  $-NH-CH_2-$ ,  $-NH-C(CH_3)H-$ ,  $-N(CH_3)-CH_2-$  or  $-O-CH_2-$ ; and  $Q^2$  is  $Q^{2A}$ ,  $Q^{2B}$ ,  $Q^{2C}$ ,  $Q^{2D}$ ,  $Q^{2E}$  or  $Q^{2F}$   ~~$Q^{2E}$~~  wherein X is a single bond or methylene and the values of  $L^2$  and  $Q^2$  are together selected from  $-NH-CO-X-Q^{2A}$ ,  $-NH-CO-O-X-Q^{2A}$ ,  $-NH-CO-NH-X-Q^{2A}$ ,  $-NH-CH_2-Q^{2A}$ ,  $-NH-C(CH_3)H-Q^{2A}$ ,  $-N(CH_3)-CH_2-Q^{2A}$ ,  $-O-CH_2-Q^{2A}$ ,  $-NH-CO-X-Q^{2B}$ ,  $-NH-CO-Q^{2C}$ ,  $-NH-CO-Q^{2D}$ ,  $-NH-CO-Q^{2E}$  and  $-NH-CO-Q^{2F}$  in which:

$Q^{2A}$  (showing the  $L^2$  to which it is attached) is



in which

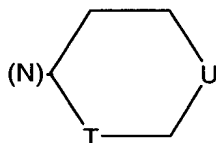
each of m and n independently is 0 or 1, or m is 2 and n is 1, and

$R^{2A}$  is hydrogen, t-butyl, methylsulfonyl,  $-CHRYR^Z$ ,  $-CHRW^RX$ , or 4-pyridinyl (which is unsubstituted or bears a substituent  $R^V$  at the 2- or 3-position) wherein

$R^V$  is methyl, hydroxymethyl,  $\{(1-2C)\text{alkoxy}\}\text{carbonyl}$ ; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

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each of  $R^W$  and  $R^X$  independently is hydrogen or (1-3C)normal alkyl; or  $-CHR^WR^X$  is 2-indanyl or (showing the nitrogen to which it is attached) is



5

in which T is a single bond or methylene and U is methylene, ethylene, oxy,  $-S(O)_q-$  (wherein q is 0, 1 or 2) or imino (which may bear a methyl substituent), or T is

10 ethan-1,1-diyl and U is a single bond or methylene;

$R^Y$  is hydrogen or methyl; and

$R^Z$  is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and  
15 hydroxy), 4-quinoliny1 or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has ~~includes~~ one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has ~~includes~~ one to three nitrogen atoms, wherein the heteroaryl is attached at carbon  
20 and may bear one or more methyl substituents on carbon or nitrogen);

or  $R^{2A}$  is  $-L^b-CH_2-R^b$  in which  $-L^b-$  is a direct bond,  $-CH_2-$ ,  $-C(CH_3)H-$  or  $-CH_2-CH_2-$ ; and  $R^b$  is carboxy, {(1-2C)alkoxy}carbonyl, cyano, carbamoyl or trifluoromethyl;

25 or  $R^{2A}$  is  $-CO-R^c$  in which  $R^c$  is hydrogen, (1-3C)alkyl, {(1-2C)alkoxy}carbonyl- $(CH_2)_c-$  (in which c is 1 or 2), phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), heteroaryl (which heteroaryl is a  
30 5-membered aromatic ring which has ~~includes~~ one to four heteroatoms selected from sulfur, oxygen and nitrogen or is

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a 6-membered aromatic ring which has ~~includes~~ one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen) or  $-NR^dR^e$  in which each of  $R^d$  and  $R^e$  is

5 independently hydrogen, methyl or ethyl, or  $-NR^dR^e$  is pyrrolidino, piperidino, morpholino or thiomorpholino;

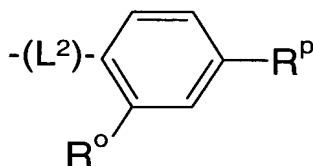
$Q^{2B}$  is 1-piperazinyl which bears at the 4-position the group  $R^{2A}$  (defined as above);

10  $Q^{2C}$  is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group  $R^{2A}$  (defined as above);

$Q^{2D}$  is cyclohexyl which bears at the 4-position the group  $-NR^sR^t$  in which each of  $R^s$  and  $R^t$  independently is hydrogen or methyl or  $R^s$  and  $R^t$  together are trimethylene or tetramethylene;

15  $Q^{2E}$  is 1-piperidinyl which bears at the 4-position the group  $-NR^sR^t$  (defined as above); and

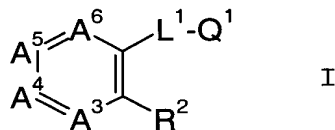
$Q^{2F}$  (showing the  $L^2$  to which it is attached) is



20 in which  $R^O$  is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and  $R^P$  is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or  $-J-R^Q$  in which  $J$  is a single bond, 25 methylene, carbonyl, oxy,  $-S(O)_q-$  (wherein  $q$  is 0, 1 or 2), or  $-NR^r-$  (wherein  $R^r$  is hydrogen or methyl); and  $R^Q$  is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl; or  $-NR^Q R^r$  is pyrrolidino.

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2. (Currently amended) The compound of formula I as claimed in Claim 1



5

(or a pharmaceutically acceptable salt thereof) wherein:

A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup> and A<sup>6</sup>, together with the two carbons to which they are attached, complete a substituted benzene in which A<sup>3</sup> is CR<sup>3</sup>, A<sup>4</sup> is CR<sup>4</sup>, A<sup>5</sup> is CR<sup>5</sup>, and A<sup>6</sup> is CR<sup>6</sup>;

10 wherein

R<sup>3</sup> is hydrogen, methyl, fluoro, chloro or carboxy;

one of R<sup>4</sup> and R<sup>5</sup> is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R<sup>f</sup>O-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>O-, HO(CH<sub>2</sub>)<sub>a</sub>O- (in which a is 2, 3 or 4), R<sup>f</sup>O<sub>2</sub>C-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>-,

15 R<sup>g</sup>NH- or R<sup>h</sup>SO<sub>2</sub>-;

the other of R<sup>4</sup> and R<sup>5</sup> is hydrogen; and

R<sup>6</sup> is hydrogen, methyl, fluoro, chloro or methoxy;

in which R<sup>f</sup> is hydrogen, (1-4C)alkyl or benzyl; R<sup>g</sup> is hydrogen or R<sup>h</sup>SO<sub>2</sub>-; and R<sup>h</sup> is (1-4C)alkyl or dimethylamino;

20 L<sup>1</sup> is -CO-NH- such that -L<sup>1</sup>-Q<sup>1</sup> is -CO-NH-Q<sup>1</sup>;

Q<sup>1</sup> is ~~2-pyridinyl (which bears a methyl, methoxy, methylthio, fluoro or chloro substituent at the 5 position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6 position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5 position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);~~

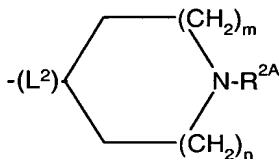
R<sup>2</sup> is -L<sup>2</sup>-Q<sup>2</sup> in which -L<sup>2</sup>- is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH<sub>2</sub>- or -O-CH<sub>2</sub>-; and Q<sup>2</sup> is Q<sup>2A</sup>, Q<sup>2B</sup>, Q<sup>2C</sup>, Q<sup>2D</sup>, Q<sup>2E</sup> or Q<sup>2F</sup> wherein X is a single bond or methylene and the values of L<sup>2</sup> and Q<sup>2</sup> are together selected from -NH-CO-X-Q<sup>2A</sup>, -NH-CO-O-X-Q<sup>2A</sup>, -NH-CO-NH-X-Q<sup>2A</sup>,

30

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-NH-CH<sub>2</sub>-Q<sup>2A</sup>, -O-CH<sub>2</sub>-Q<sup>2A</sup>, -NH-CO-X-Q<sup>2B</sup>, -NH-CO-Q<sup>2C</sup>,  
 -NH-CO-Q<sup>2D</sup>, -NH-CO-Q<sup>2E</sup> and -NH-CO-Q<sup>2F</sup> in which:

Q<sup>2A</sup> (showing the L<sup>2</sup> to which it is attached) is



5

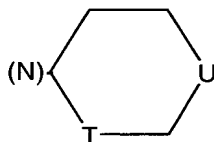
in which

each of m and n independently is 0 or 1, and

10 R<sup>2A</sup> is hydrogen, t-butyl, methylsulfonyl, -CHR<sup>Y</sup>R<sup>Z</sup>,  
 -CHR<sup>W</sup>R<sup>X</sup>, or 4-pyridinyl (which is unsubstituted or bears a  
 substituent R<sup>V</sup> at the 2- or 3-position) wherein

R<sup>V</sup> is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl;  
 cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

15 each of R<sup>W</sup> and R<sup>X</sup> independently is hydrogen or  
 (1-3C)normal alkyl; or -CHR<sup>W</sup>R<sup>X</sup> is 2-indanyl or (showing the  
 nitrogen to which it is attached) is



20 in which T is a single bond or methylene and U is methylene,  
 ethylene, oxy, -S(O)<sub>q</sub>- (wherein q is 0, 1 or 2) or imino  
 (which may bear a methyl substituent), or T is  
 ethan-1,1-diyl and U is a single bond or methylene;

R<sup>Y</sup> is hydrogen or methyl; and

25 R<sup>Z</sup> is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl  
 (which is unsubstituted or bears one or more substituents  
 independently selected from halo, methyl, methoxy and  
 hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a

- 10 -

5-membered aromatic ring which has ~~includes~~ one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has ~~includes~~ one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

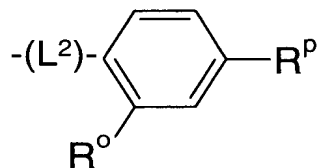
$Q^{2B}$  is 1-piperazinyl which bears at the 4-position the group  $R^{2A}$  (defined as above);

10  $Q^{2C}$  is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group  $R^{2A}$  (defined as above);

$Q^{2D}$  is cyclohexyl which bears at the 4-position the group  $-NR^SR^t$  in which each of  $R^S$  and  $R^t$  independently is hydrogen or methyl or  $R^S$  and  $R^t$  together are trimethylene or tetramethylene;

15  $Q^{2E}$  is 1-piperidinyl which bears at the 4-position the group  $-NR^SR^t$  (defined as above); and

$Q^{2F}$  (showing the  $L^2$  to which it is attached) is



20 in which  $R^O$  is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and  $R^P$  is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or  $-J-R^Q$  in which  $J$  is a single bond, methylene, carbonyl, oxy,  $-S(O)_q-$  (wherein  $q$  is 0, 1 or 2),  
 25 or  $-NR^r-$  (wherein  $R^r$  is hydrogen or methyl); and  $R^Q$  is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

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3. (Currently amended) A compound of formula I (or a pharmaceutically acceptable salt thereof) as claimed in Claim 2 wherein:

5 A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup> and A<sup>6</sup>, together with the two carbons to which they are attached, complete a substituted benzene in which A<sup>3</sup> is CR<sup>3</sup>, A<sup>4</sup> is CR<sup>4</sup>, A<sup>5</sup> is CR<sup>5</sup>, and A<sup>6</sup> is CR<sup>6</sup>; wherein

R<sup>3</sup> is hydrogen;

10 one of R<sup>4</sup> and R<sup>5</sup> is hydrogen, methyl, fluoro, chloro, trifluoromethyl, trifluoromethoxy, R<sup>f</sup>O<sub>2</sub>C- or R<sup>g</sup>NH-; the other of R<sup>4</sup> and R<sup>5</sup> is hydrogen; and

R<sup>6</sup> is hydrogen;

in which R<sup>f</sup> is hydrogen, (1-4C)alkyl or benzyl; R<sup>g</sup> is hydrogen or R<sup>h</sup>SO<sub>2</sub>-; and R<sup>h</sup> is (1-4C)alkyl or dimethylamino;

15 L<sup>1</sup> is -CO-NH- such that -L<sup>1</sup>-Q<sup>1</sup> is -CO-NH-Q<sup>1</sup>;

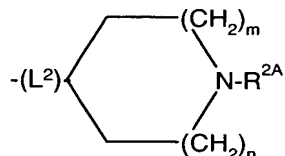
Q<sup>1</sup> is ~~2-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 5 position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6 position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5 position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);~~

20 R<sup>2</sup> is -L<sup>2</sup>-Q<sup>2</sup> in which -L<sup>2</sup>- is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH<sub>2</sub>- or -O-CH<sub>2</sub>-; and Q<sup>2</sup> is Q<sup>2A</sup>, Q<sup>2B</sup>, Q<sup>2C</sup>, Q<sup>2D</sup>, Q<sup>2E</sup> or Q<sup>2F</sup> wherein X is a single bond or methylene and the values of L<sup>2</sup> and Q<sup>2</sup> are together selected from -NH-CO-X-Q<sup>2A</sup>, -NH-CO-O-X-Q<sup>2A</sup>, -NH-CO-NH-X-Q<sup>2A</sup>, -NH-CH<sub>2</sub>-Q<sup>2A</sup>, -O-CH<sub>2</sub>-Q<sup>2A</sup>, -NH-CO-X-Q<sup>2B</sup>, -NH-CO-Q<sup>2C</sup>, -NH-CO-Q<sup>2D</sup>, -NH-CO-Q<sup>2E</sup> and -NH-CO-Q<sup>2F</sup> in which:



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Q<sup>2A</sup> (showing the L<sup>2</sup> to which it is attached) is



5 in which

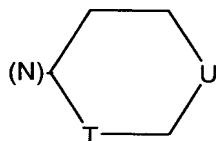
each of m and n independently is 0 or 1, and

R<sup>2A</sup> is hydrogen, -CHRYR<sup>Z</sup>, -CHR<sup>W</sup>R<sup>X</sup>, or 4-pyridinyl  
(which is unsubstituted or bears a substituent R<sup>V</sup> at the 2-  
or 3-position) wherein

10 R<sup>V</sup> is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl;  
cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of R<sup>W</sup> and R<sup>X</sup> independently is hydrogen or  
(1-3C)normal alkyl; or -CHR<sup>W</sup>R<sup>X</sup> is 2-indanyl or (showing the  
nitrogen to which it is attached) is

15



in which T is a single bond or methylene and U is methylene,  
oxy, thioxy or imino (which may bear a methyl substituent),  
20 or T is ethan-1,1-diyl and U is a single bond or methylene;

R<sup>Y</sup> is hydrogen or methyl; and

R<sup>Z</sup> is isopropyl, t-butyl, (3-6C)cyclopropyl, phenyl  
(which is unsubstituted or bears one or more substituents  
independently selected from halo, methyl, methoxy and  
25 hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a  
5-membered aromatic ring which has ~~includes~~ one to four  
heteroatoms selected from sulfur, oxygen and nitrogen or is  
a 6-membered aromatic ring which has ~~includes~~ one to three

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nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

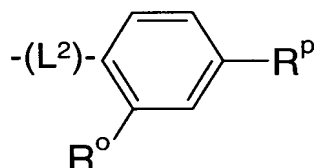
5  $Q^{2B}$  is 1-piperazinyl which bears at the 4-position the group  $R^{2A}$  (defined as above);

$Q^{2C}$  is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group  $R^{2A}$  (defined as above);

10  $Q^{2D}$  is cyclohexyl which bears at the 4-position the group  $-NR^SR^t$  in which each of  $R^S$  and  $R^t$  independently is hydrogen or methyl or  $R^S$  and  $R^t$  together are trimethylene or tetramethylene;

$Q^{2E}$  is 1-piperidinyl which bears at the 4-position the group  $-NR^SR^t$  (defined as above); and

$Q^{2F}$  (showing the  $L^2$  to which it is attached) is



15

in which  $R^O$  is hydrogen and  $R^P$  is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, 20 dimethylaminosulfonyl or  $-J-R^Q$  in which  $J$  is a single bond, methylene, carbonyl, oxy,  $-S(O)_q-$  (wherein  $q$  is 0, 1 or 2), or  $-NR^f-$  (wherein  $R^f$  is hydrogen or methyl); and  $R^Q$  is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

25 4. (Original) The compound of Claim 1, 2 or 3 wherein halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl; (1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl, 30 butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

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5. (Currently amended) The compound of Claim 4 ~~any of Claims 1-4~~ wherein Q<sup>1</sup> is ~~5-chloropyridin-2-yl,~~  
~~5-fluoropyridin-2-yl, or 6-chloropyridazin-3-yl.~~

5

6. (Currently amended) The compound of Claim 4 ~~any of Claims 1-5~~ wherein R<sup>2</sup> is (1-isopropylpiperidin-4-yl-carbonyl)amino, (1-cyclohexylpiperidin-4-ylcarbonyl)amino, (4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-  
10 pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-  
diny]piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piper-  
idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-  
4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-  
piperidin-4-ylmethyl]amino.

15

7. (Currently amended) The compound as claimed in Claim 4 ~~any of Claims 1-6~~ wherein each of R<sup>3</sup>-R<sup>6</sup> is hydrogen.

8. (Currently amended) The compound as claimed in Claim 4 ~~any of Claims 1-6~~ wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is chloro or fluoro.

20

9. (Currently amended) The compound as claimed in Claim 1 ~~any of Claims 1, 4, 5 and 6~~ wherein each of R<sup>3</sup>, R<sup>4</sup>  
25 and R<sup>6</sup> is hydrogen and R<sup>5</sup> is R<sup>a</sup> wherein R<sup>a</sup> is phenyl,  
furanyl, thienyl, 2-isothiazolyl or pyridyl; and wherein  
halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl  
or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl;  
(1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl,  
30 isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl,  
butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl,  
cyclobutyl, cyclopentyl or cyclohexyl.

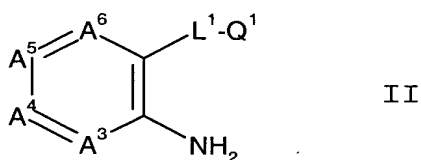
- 15 -

10. (Currently amended) The pharmaceutically acceptable salt of a compound of formula I as claimed in any of Claims 1-3 ~~1-9~~ which is an acid-addition salt made from a basic compound of formula I and an acid which provides a pharmaceutically acceptable anion or a salt which is made from an acidic compound of formula I and a base which provides a pharmaceutically acceptable cation.

11. (Currently amended) A pharmaceutical formulation comprising in association with a pharmaceutically acceptable carrier, diluent or excipient, a novel compound of formula I (or a pharmaceutically acceptable salt thereof) as provided in any of Claims 1-3 ~~1-10~~.

12. (Original) A process for preparing a compound of formula I (or a pharmaceutically acceptable salt thereof) as provided in Claim 1 or 2 which is selected from

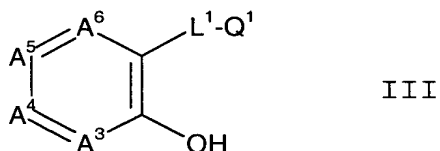
(A) for a compound of formula I in which  $-L^2-Q^2$ , is  $-NH-CO-Q^2$ ,  $-NH-CO-X-Q^2$ ,  $-NH-CO-O-X-Q^2$  or  $-NH-CO-NH-X-Q^2$ , acylating an amine of formula II,



using a corresponding acid of formula  $HO-CO-Q^2$ ,  $HO-CO-X-Q^2$ ,  $HO-CO-O-X-Q^2$ , or  $HO-CO-NH-X-Q^2$ , or an activated derivative thereof;

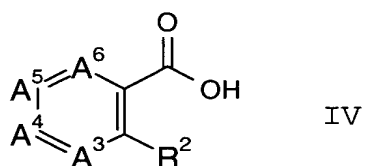
(B) for a compound of formula I in which  $-L^2-Q^2$  is  $-O-CH_2-Q^{2A}$ , alkylating a phenol of formula III

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using a reagent of formula  $Y-CH_2-Q^{2A}$  in which Y is a conventional leaving group;

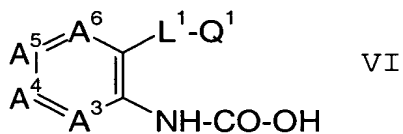
- 5 (C) acylating an amine of formula  $H_2N-Q^1$ , or a deprotonated derivative thereof, using an acid of formula IV, or an activated derivative thereof;



10

- (D) for a compound of formula I in which  $R^2$  is  $-NH-CH_2-Q^{2A}$ , alkylating an amine of formula II directly, using a compound of formula  $Y-CH_2-Q^{2A}$ , or indirectly by reductive alkylation using an aldehyde of formula  $Q^{2A}-CHO$ ;

- 15 (E) for a compound of formula I in which  $R^2$  is  $-NH-CO-O-X-Q^{2A}$ , or  $-NH-CO-NH-X-Q^{2A}$ , acylating an alcohol of formula  $HO-X-Q^{2A}$  or an amine of formula  $NH_2-X-Q^{2A}$ , using an activated derivative of an acid of formula VI;



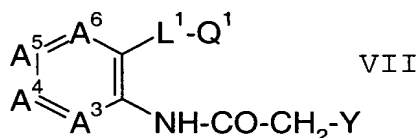
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- (F) for a compound of formula I in which  $R^2$  is  $-NH-CO-X-Q^{2B}$  in which X is a single bond, acylating at the 1-position a piperazine of formula  $H-Q^{2B}$ , using an activated
- 25 derivative of an acid of formula VI;

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(G) for a compound of formula I in which R<sup>2</sup> is -NH-CO-X-Q<sup>2B</sup> in which X is methylene, alkylating at the 1-position a piperazine of formula H-Q<sup>2B</sup>, using an alkylating agent of formula VII

5



in which Y is a leaving group;

(H) for a compound of formula I in which R<sup>2A</sup> is methylsulfonyl, substituting the amino nitrogen of a corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using an activated derivative of methanesulfonic acid;

(I) for a compound of formula I in which R<sup>2A</sup> is -CHRYR<sup>Z</sup> or -CHRW<sup>RX</sup>, alkylating the amino nitrogen of a corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using an alkylating agent of formula Y-CHRYR<sup>Z</sup> or Y-CHRW<sup>RX</sup> or reductively alkylating the amine using a compound of formula RY-CO-R<sup>Z</sup> or RW-CO-R<sup>X</sup>;

(J) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl (which is unsubstituted or bears a substituent R<sup>V</sup> at the 2- or 3-position), substituting the amino nitrogen of a corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using a corresponding pyridine reagent bearing a leaving group Y at the 4-position;

(K) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is alkoxycarbonyl, esterifying a corresponding compound of formula I in which R<sup>V</sup> is carboxy;

(L) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is hydroxymethyl, reducing the ester of a corresponding compound of formula I in which R<sup>V</sup> is alkoxycarbonyl;

(M) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is carbamoyl, amidating the ester of

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a corresponding compound of formula I in which  $R^V$  is alkoxy carbonyl;

(N) for a compound of formula I in which  $R^{2A}$  is 4-pyridinyl in which  $R^V$  is thiocarbamoyl, adding  $H_2S$  to the nitrile of a corresponding compound of formula I in which  $R^V$  is cyano;

(O) for a compound of formula I in which  $R^{2A}$  is 4-pyridinyl in which  $R^V$  is N-hydroxyamidino, adding  $H_2NOH$  to the nitrile of a corresponding compound of formula I in which  $R^V$  is cyano;

(P) for a compound of formula I in which  $R^{2A}$  is 4-pyridinyl in which  $R^V$  is carboxy, decomposing the ester of a corresponding compound of formula I in which  $R^V$  is alkoxy carbonyl;

(Q) for a compound of formula I in which  $-NR^SR^t$  is other than amino, alkylating a corresponding compound of formula I in which  $-NR^SR^t$  is amino using a conventional method;

(R) for a compound of formula I which bears  $-NR^SR^t$ , reductively alkylating  $H-NR^SR^t$  using a corresponding compound but in which the carbon to bear the  $-NR^SR^t$  group bears an oxo group;

(S) for a compound of formula I in which  $R^P$  is 1-hydroxy-1-methylethyl, adding a methyl group to the carbonyl group of a corresponding compound of formula I in which  $R^P$  is acetyl using an organometallic reagent;

(T) for a compound of formula I in which  $R^P$  is 1-methoxy-1-methylethyl, treating a corresponding compound of formula I in which  $R^P$  is 1-hydroxy-1-methylethyl with methanol and an acid catalyst;

(U) for a compound of formula I in which  $R^4$  or  $R^5$  is amino, reducing the nitro group of a compound corresponding to a compound of formula I but in which  $R^4$  or  $R^5$  is nitro;

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(V) for a compound of formula I in which  $R^4$  or  $R^5$  is  $R^9NH-$  and  $R^9$  is  $R^hSO_2-$ , substituting the amino group of a corresponding compound of formula I in which  $R^4$  or  $R^5$  is amino using an activated derivative of the sulfonic acid  
5  $R^hSO_2-OH$ ;

whereafter, for any of the above procedures, when a functional group is protected using a protecting group, removing the protecting group;

whereafter, for any of the above procedures, when a  
10 pharmaceutically acceptable salt of a compound of formula I is required, it is obtained by reacting the basic form of a basic compound of formula I with an acid affording a physiologically acceptable counterion or the acidic form of an acidic compound of formula I with a base affording a  
15 physiologically acceptable counterion or by any other conventional procedure;

and wherein, unless otherwise specified,  $A^3-A^6$ ,  $L^1$ ,  $Q^1$  and  $R^2$  have any of the values defined in Claim 1 or 2.

20 13. (Currently amended) A method of inhibiting factor Xa in a mammal comprising administering to the a-mammal in need thereof of treatment, an effective amount of a compound of formula I as provided in any of Claims 1-3 1-10.

25 14-16. (Cancelled)

17. (New) The compound of Claim 5 wherein  $R^2$  is  
(1-isopropylpiperidin-4-ylcarbonyl)amino,  
(1-cyclohexylpiperidin-4-ylcarbonyl)amino,  
30 (4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-  
pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-  
diny]piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piper-  
idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-



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4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-piperidin-4-ylmethyl]amino.

18. (New) The compound as claimed in Claim 5 wherein  
5 each of  $R^3$ - $R^6$  is hydrogen.

19. (New) The compound as claimed in Claim 6 wherein  
each of  $R^3$ - $R^6$  is hydrogen.

10 20. (New) The compound as claimed in Claim 17 wherein  
each of  $R^3$ - $R^6$  is hydrogen.

21. (New) The compound as claimed in Claim 5 wherein  
each of  $R^3$ ,  $R^4$  and  $R^6$  is hydrogen and  $R^5$  is chloro or  
15 fluoro.

22. (New) The compound as claimed in Claim 6 wherein  
each of  $R^3$ ,  $R^4$  and  $R^6$  is hydrogen and  $R^5$  is chloro or  
fluoro.

20 23. (New) The compound as claimed in Claim 17 wherein  
each of  $R^3$ ,  $R^4$  and  $R^6$  is hydrogen and  $R^5$  is chloro or  
fluoro.

25 24. (New) The compound of Claim 9 wherein  $Q^1$  is  
6-chloropyridazin-3-yl.

25. (New) The compound of Claim 9 wherein  $R^2$  is  
(1-isopropylpiperidin-4-ylcarbonyl)amino,  
30 (1-cyclohexylpiperidin-4-ylcarbonyl)amino,  
(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-  
pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-  
diny]piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piper-  
idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-

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4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-piperidin-4-ylmethyl]amino.

26. (New) The compound of Claim 24 wherein R<sup>2</sup> is  
5 (1-isopropylpiperidin-4-ylcarbonyl)amino,  
(1-cyclohexylpiperidin-4-ylcarbonyl)amino,  
(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-  
pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-  
diny]piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piper-  
10 idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-  
4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-  
piperidin-4-ylmethyl]amino.

27. (New) The compound selected from  
15 N-(6-chloropyridazin-3-yl)-2-[[1-(4-pyridinyl)-  
piperidin-4-ylcarbonyl]amino]benzamide and  
5-chloro-N-(6-chloropyridazin-3-yl)-2-[(1-isopropyl-  
piperidin-4-ylcarbonyl)amino]benzamide, or  
a pharmaceutically acceptable salt thereof.

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